

10/550,038 YONG CHU 05-18-2005

PRD 5/20/2003

\$\$^STN;HighlightOn=;HighlightOff=;

only one ODP 3/9

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 22 EMBASE is now updated on a daily basis
NEWS 10 APR 03 New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
thesaurus added in PCTFULL
NEWS 12 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display
in MARPAT
NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during
second quarter; strategies may be affected
NEWS 16 MAY 10 CA/CAPplus enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11 KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that
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* * * * *

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If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: <http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW>

Thank you in advance for your participation.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 07:31:09 ON 18 MAY 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:31:17 ON 18 MAY 2006

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STRUCTURE FILE UPDATES: 16 MAY 2006 HIGHEST RN 884586-69-0

DICTIONARY FILE UPDATES: 16 MAY 2006 HIGHEST RN 884586-69-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

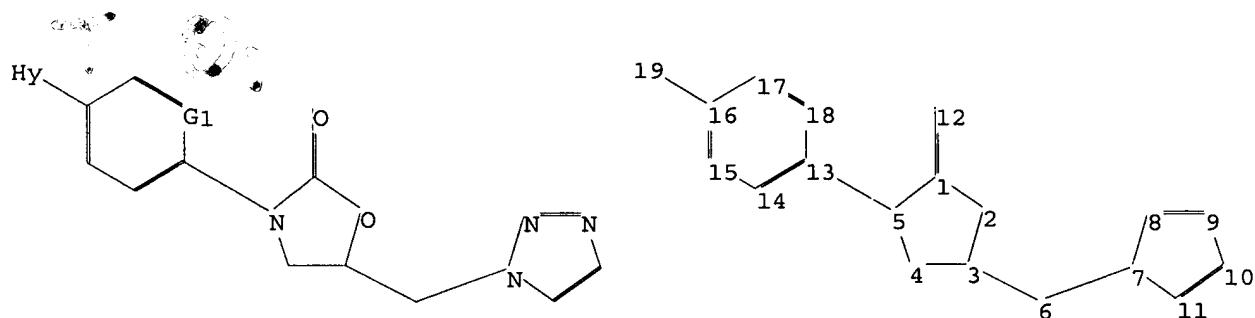
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10550038\10550038a.str



chain nodes :

6 12 19

ring nodes :

1 2 3 4 5 7 8 9 10 11 13 14 15 16 17 18

chain bonds :

1-12 3-6 5-13 6-7 16-19

ring bonds :

1-2 1-5 2-3 3-4 4-5 7-8 7-11 8-9 9-10 10-11 13-14 13-18 14-15 15-16
16-17 17-18

exact/norm bonds :

1-2 1-5 1-12 2-3 3-4 3-6 4-5 5-13 6-7 7-8 7-11 8-9 9-10 10-11 13-14
13-18 14-15 15-16 16-17 16-19 17-18

GF:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

Generic attributes :

19:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

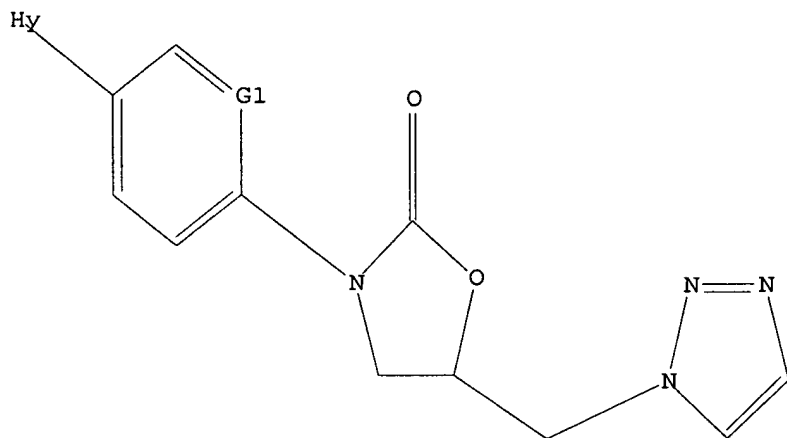
Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 07:31:49 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 65 TO ITERATE

100.0% PROCESSED 65 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 817 TO 1783
 PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 07:31:55 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 1368 TO ITERATE

100.0% PROCESSED 1368 ITERATIONS 55 ANSWERS
 SEARCH TIME: 00.00.01

L3 55 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'CAPLUS' ENTERED AT 07:32:04 ON 18 MAY 2006
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FILE LAST UPDATED: 16 May 2006 (20060516/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> s l3

L4 9 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:409511 CAPLUS
DOCUMENT NUMBER: 142:463731

TITLE: A preparation of novel oxazolidinone derivatives,
useful as antibacterial agents
INVENTOR(S): Kang, Jae-Hoon; Park, Chun-Ho; Kwon, Jin-Sun
PATENT ASSIGNEE(S): 11-Dong Pharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2

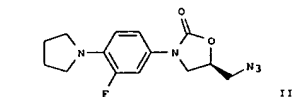
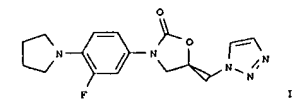
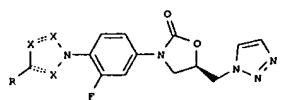
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042523	A1	20050512	WO 2004-KR2805	20041103
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TW, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			KR 2003-77372	A 20031103
			KR 2004-82328	A 20041014

OTHER SOURCE(S): MARPAT 142:463731
G1

Late

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



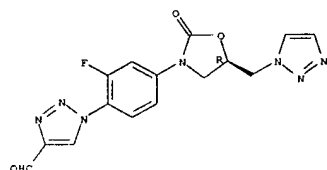
AB The invention relates to a preparation of novel oxazolidinone derivs. of formula I (R is H, amide, aldehyde, or nitrile, etc.; each X is independently N or CH), useful as antibacterial agents. For instance, oxazolidinone derivative II (MIC (μg/mL): str. pyogenes 77A - 0.4, s. aureus 285 - 0.8, MRSA 2 - 1.6; LD50 >5000 mg/kg) was prepared via 1,3-dipolar cycloaddn. of vinyl acetate to (azidomethyl)oxazolidinone derivative III with a yield of 74%.

IT 851529-97-09 851529-98-1P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-97-0 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxaldehyde,
1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

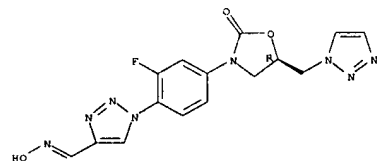
Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 851529-98-1 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxaldehyde,
1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

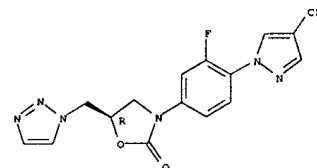
Absolute stereochemistry.
Double bond geometry unknown.



IT 851530-02-4P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)
RN 851530-02-4 CAPLUS
CN 1H-Pyrazole-4-carbonitrile,
1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

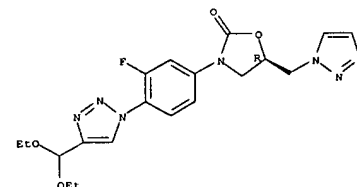
Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



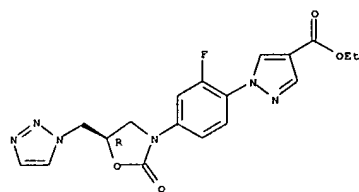
IT 851529-96-9P 851530-00-2P 851530-01-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)
RN 851529-96-9 CAPLUS
CN 2-Oxazolidinone, 3-[4-[4-(diethoxymethyl)-1H-1,2,3-triazol-1-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



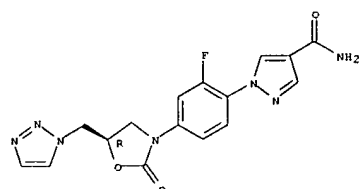
RN 851530-00-2 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851530-01-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide,
1-[2-fluoro-4-((5R)-2-oxo-5-((1H-1,2,3-triazol-1-yl)methyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

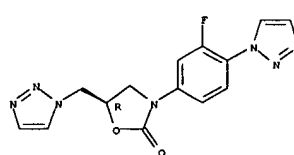


IT 851529-85-6P 851529-86-7P 851529-89-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Preparation of novel oxazolidinone derivs. useful as antibacterial agents)

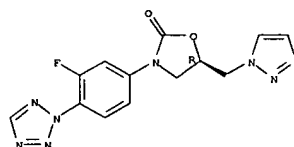
RN 851529-85-6 CAPLUS
CN 2-Oxazolidinone,
3-[3-fluoro-4-((1H-1,2,3-triazol-1-yl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



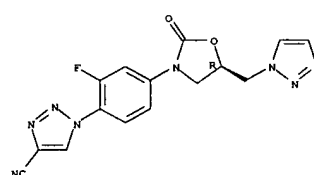
RN 851529-86-7 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-((2H-tetrazol-2-yl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-99-2 CAPLUS
CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[2-fluoro-4-((5R)-2-oxo-5-((1H-1,2,3-triazol-1-yl)methyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

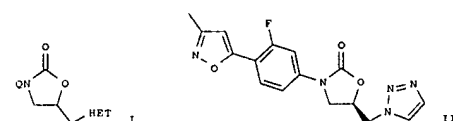
FORMAT

Current application

ACCESSION NUMBER: 2004:799584 CAPLUS
DOCUMENT NUMBER: 141:296028
TITLE: Preparation of azolymethyloxazolidinones as antibacterials.
INVENTOR(S): Graveslock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083206	A1	20040930	WO 2004-GB1132	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LE, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, PU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1603903	A1	20051214	EP 2004-720909	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 2006079695	A1	20060413	US 2005-550038	20050921
PRIORITY APPL. INFO.: GB 2003-6357 A 20030320				
WO 2004-GB1132 W 20040316				

OTHER SOURCE(S): MARPAT 141:296028
G1



AB Title compds. [I: HET = pyrazolyl, imidazolyl, triazolyl, tetrazolyl; Q = (substituted) azolyl;phenyl, azolylpyridinyl, azolylloxazolyl, azolylthiazolyl, etc.], were prepared Thus.
(R)-3-[3-fluoro-4-iodophenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-1,3-oxazolidin-2-one (preparation given), (PPh3)2PdCl2, and 5-tributylstannyl-3-methylisoxazole were heated together

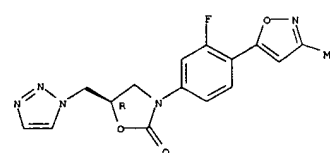
at 100° in dioxane for 16 h to give title compd. (II). II showed a min. inhibitory concn. of 1 µg/mL against Staphylococcus aureus MSQS (methicillin resistant and quinolone resistant).

IT 765286-96-2P 765286-97-3P 765286-98-4P
765286-99-5P 765287-00-1P 765287-01-2P
765287-02-3P 765287-03-4P 765287-04-5P
765287-05-6P 765287-06-7P 765287-18-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Preparation of azolymethyloxazolidinones as antibacterials)

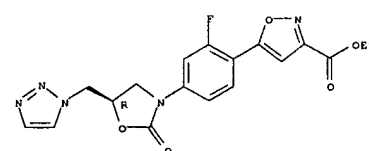
RN 765286-96-2 CAPLUS
CN 2-Oxazolidinone,
3-[3-fluoro-4-((3-methyl-5-isoxazolyl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



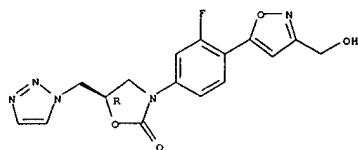
RN 765286-97-3 CAPLUS
CN 3-Isoxazolecarboxylic acid,
5-[2-fluoro-4-((5R)-2-oxo-5-((1H-1,2,3-triazol-1-yl)methyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



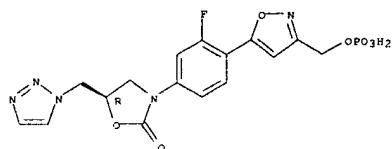
RN 765286-98-4 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-((3-(hydroxymethyl)-5-isoxazolyl)phenyl]-5-((1H-1,2,3-triazol-1-yl)methyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



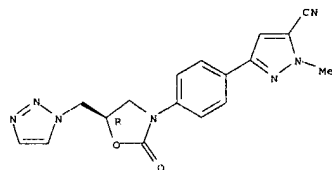
RN 765286-99-5 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1-methyl-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



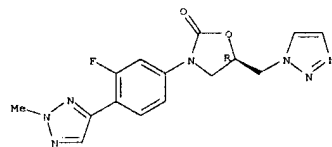
RN 765287-00-1 CAPLUS
CN 1H-Pyrazole-5-carbonitrile, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



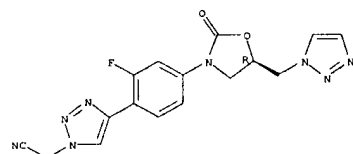
RN 765287-01-2 CAPLUS
CN 1H-Pyrazole-5-carboxaldehyde, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



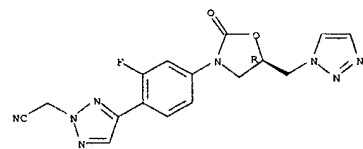
RN 765287-05-6 CAPLUS
CN 1H-1,2,3-Triazole-1-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



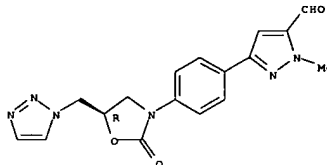
RN 765287-06-7 CAPLUS
CN 2H-1,2,3-Triazole-2-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



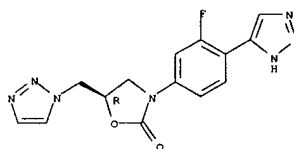
RN 765287-18-1 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1-methyl-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, disodium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



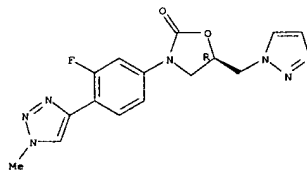
RN 765287-02-3 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1-methyl-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



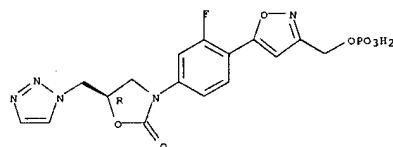
RN 765287-03-4 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1-methyl-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-04-5 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1-methyl-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

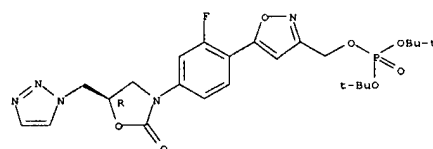


● 2 Na

IT 765287-07-8P 765287-15-8P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolymethyloxazolidinones as antibacterials)

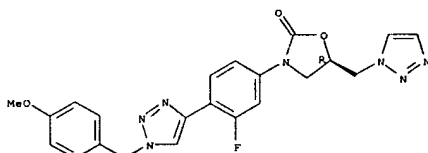
RN 765287-07-8 CAPLUS
CN Phosphoric acid, bis(1,1-dimethylethyl) [5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-15-8 CAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1-methyl-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

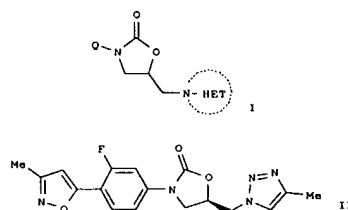


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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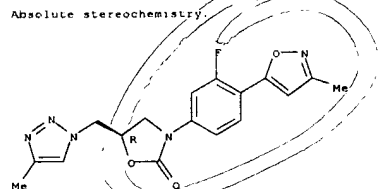
ACCESSION NUMBER: 2004:799583 CAPLUS
DOCUMENT NUMBER: 141:314336
TITLE: Preparation of 1,3-oxazolidin-2-one derivatives as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083205	A1	20040130	WO 2004-GB1119	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GW, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, SY, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1603904	A1	20051214	EP 2004-720912	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 20040084410	A1	20040420	US 2005-550039	20050921
PRIORITY APPLN. INFO.: GB 2003-6358 A 20030420				
WO 2004-GB1119 W 20040316				

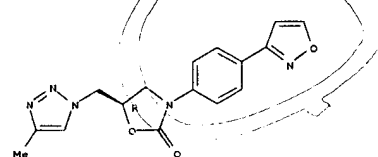
OTHER SOURCE(S): MARPAT 141:314336
GI



AB Title compds. represented by the formula I [wherein N-HET = (un)substituted 1-pyrazolyl, 1-imidazolyl, 1,2,3-triazol-1-yl, etc.; Q = (un)substituted heteroaryl Ph, pyridinyl, thienyl, etc.] and pharmaceutically acceptable salts or an in-vivo hydrolyzable ester thereof] were prepared as MAO-A (mono-amine oxidase) inhibitors. For example, coupling reaction of
(5R)-3-[(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one with 5-(tributylstannyl)-3-methylisoxazole gave II. II showed decreased MAO-A potency with Ki value of 21 µg/mL. Thus, I and their pharmaceutical compns. are useful as antibacterial agents.
IT 765912-32-1P 765912-34-3P 765912-36-5P
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)
RN 765912-32-1 CAPLUS
CN 2-Oxazolidinone,
3-[(3-fluoro-4-[(3-methyl-5-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 765912-34-3 CAPLUS
CN 2-Oxazolidinone,
3-[(4-[(3-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.



RN 765912-36-5 CAPLUS
CN 2-Oxazolidinone, 3-[(3-fluoro-4-[(1-phenylmethyl)-1H-1,2,3-triazol-4-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

AB Title compds. represented by the formula I [wherein N-HET = (un)substituted 1-pyrazolyl, 1-imidazolyl, 1,2,3-triazol-1-yl, etc.; Q = (un)substituted heteroaryl Ph, pyridinyl, thienyl, etc.] and pharmaceutically acceptable salts or an in-vivo hydrolyzable ester thereof] were prepared as MAO-A (mono-amine oxidase) inhibitors. For example, coupling reaction of
(5R)-3-[(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one with 5-(tributylstannyl)-3-methylisoxazole gave II. II showed decreased MAO-A potency with Ki value of 21 µg/mL. Thus, I and their pharmaceutical compns. are useful as antibacterial agents.
IT 765912-32-1P 765912-34-3P 765912-36-5P
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)
RN 765912-32-1 CAPLUS
CN 2-Oxazolidinone,
3-[(3-fluoro-4-[(3-methyl-5-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

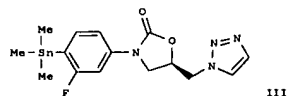
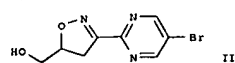
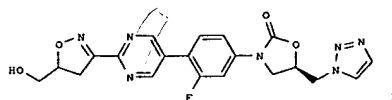


Not ODP

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:550955 CAPLUS
 DOCUMENT NUMBER: 141:89124
 TITLE: A preparation of oxazolidinone derivatives, useful as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Huynh, Hoan Khai
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056817	A1	20040708	WO 2003-GB5448	20031215
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
TG				
AU 2003292422	A1	20040714	AU 2003-292422	20031215
EP 1572688	A1	20050914	EP 2003-768000	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512352	T2	20060413	JP 2004-561616	20031215
US 2006058314	A1	20060316	US 2005-539482	20050617
PRIORITY APPL. INFO.: GB 2002-29526 A 20021219				
WO 2003-GB5448 W 20031215				
OTHER SOURCE(S): MARPAT 141:89124				
G1				

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The invention relates to a preparation of oxazolidinone derivs. of formula

R1-A-C-B-CH2-R2 [wherein: A and B are independently selected from oxazolidinone or isoxazole derivs.; C is a biaryl group C1-C2 where C1 is benzene-1,4-diyl, thiene-2,5-diyl, or pyridine-2,5-diyl, etc., and C2 is pyridazine-3,6-diyl, pyrazine-2,5-diyl, pyrimidine-2,5-diyl, or 1,3,4-thiadiazole-2,5-diyl, etc.; R1 is CN, C(O), (un)substituted Ph or naphthyl, cycloalkyl, or heteroaryl, etc.; R2 is OH, OSi(trialkyl), or NHC(O)Me, etc.], useful as antibacterial agents. For instance, oxazolidinone derivative I was prepared from the obtained bromopyrimidine derivative

II and obtained trimethylstannylphenyloxazole derivative III in the presence of palladium catalyst. For instance, antibacterial properties of I against several types of bacteria were determined [MIC(μg/mL): staphylococcus aureus (2), streptococcus pneumoniae (0.25), haemophilus influenza (8)].

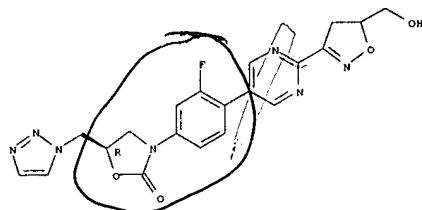
IT 716379-02-19 716379-05-49 716379-09-8P 716379-12-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolidinone derivs., useful as antibacterial agents)

RN 716379-02-1 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[2-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyrimidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

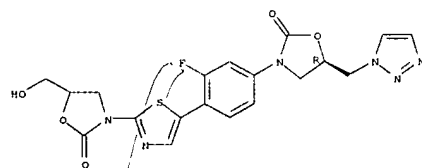
Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

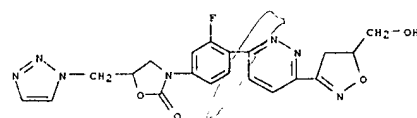


RN 716379-05-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[2-[5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]-5-thiazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

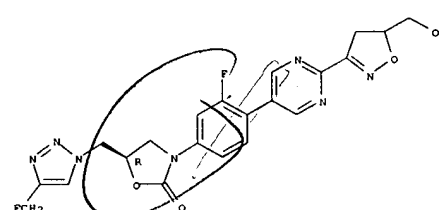


RN 716379-09-8 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 716379-12-3 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[2-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-5-pyrimidinyl]-3-fluorophenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD.
 FORMAT

Not a art

later than 5/24/03 or before

DATE 20030926

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 0-arom. 5 to 10-membered heterocycle (contg. one or more N, S, O): NR2R2
 = 5 to 8-membered (un)satd. carbocycle or heterocycle (contg. one or more
 N, S, O): R3 = H, C1-8-alkyl: C2-8-alkenyl, C2-8-alkynyl, C1-8-acyl,
 (un)satd. or arom. C3-8-carbocycle, (un)satd. or arom. 5 to 7-membered
 heterocycle (contg. one or more N, S, O): NR3R3 = 5 to (un)satd.
 7-membered carbocycle or heterocycle (contg. one or more N, S, O): R4 =
 H,
 NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C1:OHN3R3, C1-8-alkyl: C2-8-alkenyl,
 C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or penta-substituted Ph,
 substituted 4-vinylphenyl; G = C1-4-alkyl, C5-8-alkyl, C2-8-alkynyl,
 C2-8-alkynyl, C1-8-alkoxy, C1-8-alkylthio, C1-8-acyl, (un)satd. or arom.
 C5-10-carbocycle, (un)satd. or arom. 5 to 10-membered heterocycle (contg.
 one or more N, S, O); Z = C.N.O.S; dashed line = single or double bond)
 or
 a pharmaceutically acceptable salt, ester or prodrug thereof, useful as
 antiinfective, antiproliferative, antiinflammatory and prokinetic agents
 (no data). The invention also provides methods of making the
 bifunctional
 heterocyclic compds., and methods of using such compds. as antiinfective,
 antiproliferative, antiinflammatory and/or prokinetic agents. Thus,
 erythromycin deriv. II was prepd. from N-[desmethylerythromycin], via
 N-alkylation with HC.tpbond.CCH2CH2OTS, and cycloaddn. with azide III.
 IT 677726-60-2P 677726-62-4P 677726-65-7P
 677727-94-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
 study); PREP (Preparation); USES (Uses)
 (Preparation of bifunctional heterocyclic compds. for use as
 antiinfective,
 antiproliferative, antiinflammatory and prokinetic agents)
 RN 677726-60-2 CAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one,
 13-[[2,6-dideoxy-3-C-methyl-3-O-methyl-
 U-L-ribo-hexopyranosyl)oxyl]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[3,4,6-trideoxy-3-[[2-[[1-[[[(5R)-3-[3-
 fluoro-4-[(1H-1,2,3-triazol-1-yl)phenyl]-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-
 1,2,3-triazol-4-yl]ethyl]methylamino]-D-D-xilo-hexopyranosyl)oxyl]-,
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

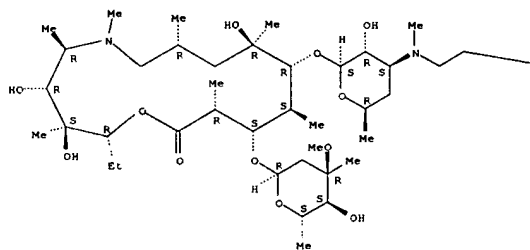
PAGE 1-A



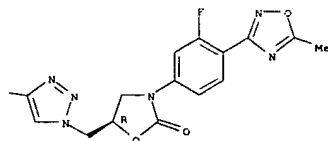
PAGE 1-B



PAGE 1-A



PAGE 1-B



RN 677727-94-5 CAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one,
 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 u-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[[[3,4,6-trideoxy-3-[[2-[[1-[[[(5R)-3-[3-
 fluoro-4-[[1,2,4-oxadiazol-3-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-
 1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-xyllo-hexopyranosyl]oxy]-,
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

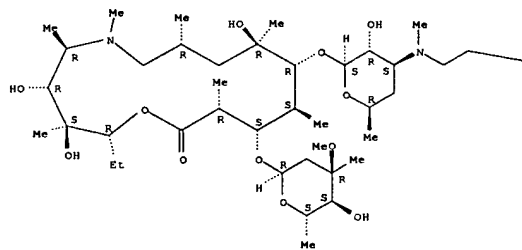
Not oop

ACCESSION NUMBER: 2003:696895 CAPLUS
 DOCUMENT NUMBER: 139:214459
 TITLE: Preparation of 5-azolymethyl oxazolidinones and
 their
 use as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck,
 Folkert; Zhou, Pei; Fleming, Paul Robert; Carcanague,
 Daniel Robert
 PATENT ASSIGNER(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 126 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

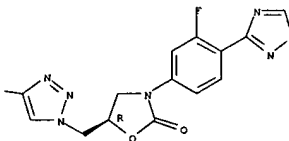
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003:672576	A2	20030904	WO 2003-GB791	20030225
WO 2003072576	A3	20031231		
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TE, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GG, GW, ML, MR, NE, SH, TD, TG				
CA 2477379	AA	20030904	CA 2003-2477379	20030225
AU 2003209994	A1	20030909	AU 2003-209994	20030225
EP 1480975	A2	20041201	EP 2003-742987	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 200308018	A	20050104	BR 2003-8018	20030225
CN 1653064	A	20050810	CN 2003-809160	20030225
US 2005182112	A1	20050818	US 2003-505902	20030225
JP 2005331504	T2	20051020	JP 2003-571282	20030225
ZA 2004006684	A	20050921	ZA 2004-6684	20040823
NO 2004003951	A	20041111	NO 2004-3951	20040921
PRIORITY APPLN. INFO.:			US 2002-160688P	P 20020228
			WO 2003-GB791	W 20030225

OTHER SOURCE(S): MARPAT 139:214459
 G1

PAGE 1-A



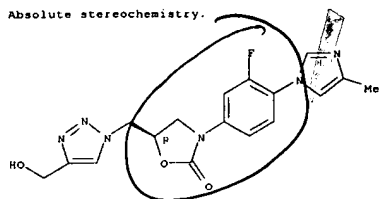
PAGE 1-B



AB 3-Cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown as 1: e.g. (5R)-3-[[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[[4-azidomethyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one (shown as 11): -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is, for example, halo or (1-4C)alkyl that is substituted by 1 substituent =, for example, OH, (1-4C)alkoxy, amino, cyano, azido; Q = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S) are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compns. containing them are described. Comps. 1 have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of 11 against methicillin sensitive and quinolone sensitive Staphylococcus aureus and against methicillin resistant and quinolone resistant Staphylococcus aureus are 4 and 8 µg/mL resp. Comps. 1 showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolymethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Sixty-one example preps. of 1 are included. For example, to prepare 11, (5R)-3-[[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[[4-hydroxymethyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one (2.7 mmol) (preparation given) was suspended in CH2Cl2 (10 mL), 1,8-diazabicyclo[5.4.0]undec-7-ene (4.7 mmol) was added and the reaction mixture was cooled to -5°; diphenylphosphoryl azide (3.25 mmol) was added dropwise and it was stirred for 18 h at room temperature; workup gave 1.02 g of 11. IT 591253-98-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-

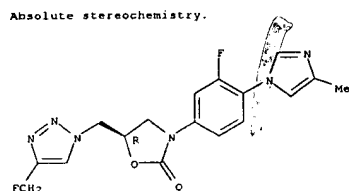
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 y[phenyl]-5-[4-(4-hydroxymethyl)-1H-1,2,3-triazol-1-yl]methyl]-1,3-oxazolidin-2-one
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate: prepn. of 5-azolymethyl oxazolidinones and their use as antibacterial agents)
 RN 591253-98-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

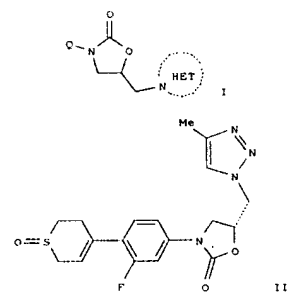


IT 591253-97-3P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate: preparation of 5-azolymethyl oxazolidinones and their use as antibacterial agents)
 RN 591253-97-3 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



AB 3-Cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown as I; e.g.)
 (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one (shown as II); -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is (4-C)alkyl; Q = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compns.
 containing them are described. Comps. I have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive Staphylococcus aureus and against methicillin resistant and quinolone resistant Staphylococcus aureus are 2 and 4 µg/mL, resp., compared to 2 and 2 µg/mL for the reference compound without the Me substituent. Comps. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolymethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Fifty-seven example prepn. of intermediates and 44 example prepn. of I are included. For example, to prepare II,
 (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-azidomethyl]oxazolidin-2-one (1.0 mmol; preparation described) was mixed with 5,6,7,8-tetrachloro-2,9-dimethyl-1,4-dihydro-1,4-ethenonaphthalene (2.0 mmol) in dry 1,4-dioxane (4 mL) in a sealed microwave reaction tube. The tube was placed in a Smith microwave reactor at 170° for 20 min. The reaction mixture was then

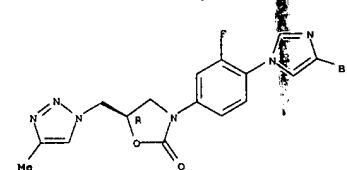
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS ON STN
 ACCESSION NUMBER: 2003:696894 CAPLUS
 DOCUMENT NUMBER: 139:214458
 TITLE: Preparation of 3-cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones and their use as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague, Daniel Robert; Girardot, Marc Michel
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 140 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072575	A1	20030904	WO 2003-GB785	20030225
N: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TG, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477344	AA	20030904	CA 2003-2477344	20030225
AU 2003207340	A1	20030909	AU 2003-207340	20030225
BR 2003008056	A	20041207	BR 2003-8056	20030225
EP 1497286	A1	20050119	EP 2003-704812	20030225
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US 200519292	A1	20050602	US 2003-506020	20030225
CN 1649866	A	20050803	CN 2003-809171	20030225
JP 2005524661	T2	20050818	JP 2003-571281	20030225
ZA 2004006812	A	20050912	ZA 2004-6812	20040826
NO 2004003950	A	20041013	NO 2004-3950	20040921
PRIORITY APPLN. INFO.:				US 2002-360957P F 20020228
				WO 2003-GB785 W 20030225

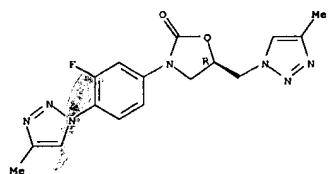
OTHER SOURCE(S): MARPAT 139:214458
 GI

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
 transferred into a round bottom flask and the solvent was removed under vacuum. The residue was purified by chromatog. on silica gel with 5% MeOH in CH2Cl2 to give a mixt. of the 4- and 5-Me regioisomers. This mixt. was further sepd. on a chiral column (chiralcel OD) with iso-PrOH/hexanes (1:1) to give II (74 mg).
 IT 591232-13-2P, (5R)-3-[3-Fluoro-4-(4-bromo-1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-15-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1,2,3-triazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-23-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1,2,4-triazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-31-4P, (5R)-3-[3-Fluoro-4-(4-[(hydroxymethyl)methyl]imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-42-7P, (5R)-3-[3-Fluoro-4-(4-formylimidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-43-8P, (5R)-3-[3-Fluoro-4-(4-(hydroxymethyl)-1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-46-1P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-49-4P, (5R)-3-[3-Fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 591232-50-7P, (5R)-3-[3-Fluoro-4-(4-cyano-1H-pyrazol-1-yl)phenyl]-5-[[4-methyl-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate: preparation of cyclyl (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
 RN 591232-13-2 CAPLUS
 CN 2-Oxazolidinone, 3-[4-(4-bromo-1H-imidazol-1-yl)-3-fluorophenyl]-5-[[4-methyl-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

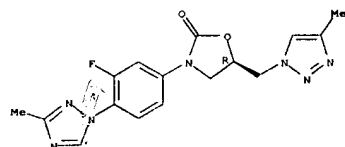


RN 591232-15-4 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-1,2,3-triazol-1-yl)phenyl]-5-[[4-methyl-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



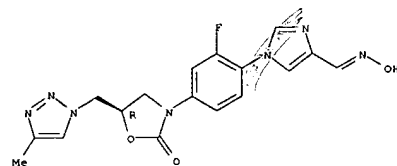
RN 591232-23-4 CAPLUS
CN 2-Oxazolidinone, 3-[(3-fluoro-4-((3-methyl-1H-1,2,4-triazol-1-yl)methyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



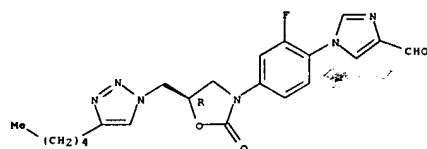
RN 591232-31-4 CAPLUS
CN 1H-Imidazole-4-carboxaldehyde, 1-[(2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl)phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



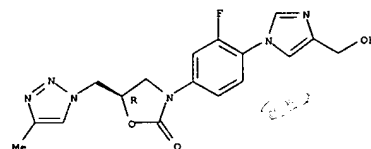
RN 591232-42-7 CAPLUS
CN 1H-Imidazole-4-carboxaldehyde, 1-[(2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl)phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.



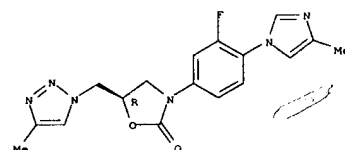
RN 591232-43-8 CAPLUS
CN 2-Oxazolidinone, 3-[(3-fluoro-4-((4-(hydroxymethyl)-1H-imidazol-1-yl)phenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



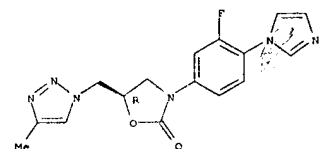
RN 591232-46-1 CAPLUS
CN 2-Oxazolidinone, 3-[(3-fluoro-4-((4-methyl-1H-imidazol-1-yl)phenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



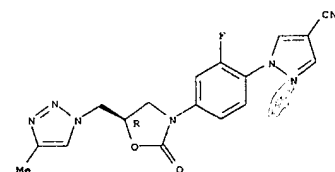
RN 591232-49-4 CAPLUS
CN 2-Oxazolidinone, 3-[(3-fluoro-4-((1H-imidazole-4-carboxaldehyde, 1-[(2-fluoro-4-((5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl)phenyl]-, 4-oxime (9CI) (CA INDEX NAME))methyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



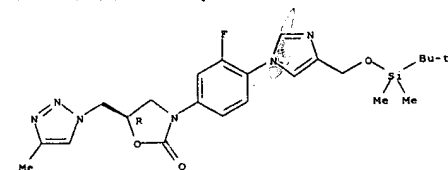
RN 591232-50-7 CAPLUS
CN 1H-Pyrazole-4-carbonitrile, 1-[(2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 591232-44-9P, (5R)-3-[(4-[(4-[(tert-Butyldimethylsilyloxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl)-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclol (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
RN 591232-44-9 CAPLUS
CN 2-Oxazolidinone, 3-[(4-[(4-[(1,1-dimethylethyl)dimethylsilyloxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD

FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:335104 CAPLUS

DOCUMENT NUMBER: 138:353972

TITLE:

antibacterial

activity

INVENTOR(S): Graveslock, Michael Barry

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035648	A1	20030501	WO 2002-GB4796	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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GB 2396350	A1	20040623	GB 2004-8399	20021023
EP 1446403	A1	20040818	EP 2002-770098	20021023
EP 1446403	B1	20060412		
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JP 2005519870	T2	20050707	JP 2003-538164	20021023
US 2005043374	A1	20050224	US 2004-493609	20041018
PRIORITY APPLN. INFO.:			US 2001-330589P	P 20011025
			WO 2002-GB4796	W 20021023

OTHER SOURCE(S):

MARPAT 138:353972

GI

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Therapeutic use): BIOL (Biological study); PREP (Preparation); USES

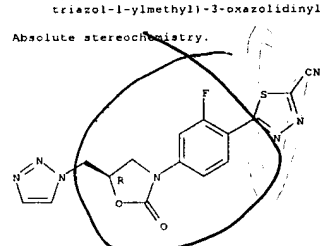
(Uses)

(antibacterial agent; prepn. of (aryl)oxazolidinones as antibacterial agents)

RN 519003-00-0 CAPLUS

CN 1,3,4-Thiadiazole-2-carbonitrile, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

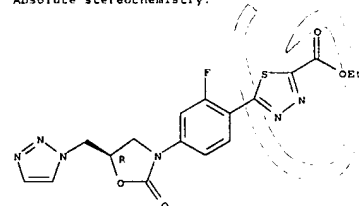


RN 519003-02-2 CAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid,

5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519003-03-3 CAPLUS

CN 2-Oxazolidinone,

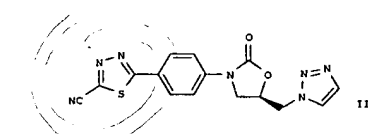
3-[4-{5-(aminomethyl)-2-thiazolyl}-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



AB Title compds. 1 [wherein HET = (un)substituted N-linked 5-membered heterocyclic or 6-membered dihydroheteroaryl ring containing heteroatoms selected from N, O, and S; Q = Q1, Q2, etc.; R2 and R3 = independently H or F; T = (un)substituted C-linked 5-membered heteroaryl containing 1-3 heteroatoms selected from N, O, and S; preferably T = (un)substituted 1,3,4-thiadiazolyl, thiazolyl, 1,3,4-oxadiazolyl, or oxazolyl; and pharmaceutically acceptable salts or hydrolyzable esters thereof] were prepared as antibacterial agents. For example, (5R)-3-(3-fluoro-4-iodophenyl)-5-hydroxymethyl-1,3-oxazolidin-2-one was mesylated and the product converted to the azide. Cyclization of the azide with bicyclo[2.2.1]heptadiene gave the 1,2,3-triazole, which was substituted with hexamethylditin to afford the stannane. Reaction with 5-chloro-1,3,4-thiadiazole-2-carbonitrile in the presence of AsPh3 and tris(dibenzylideneacetone)dipalladium in N-methyl-2-pyrrolidinone provided II. The latter inhibited bacterial growth against

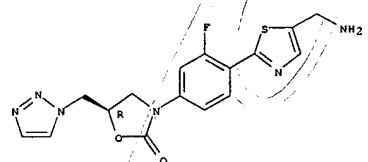
Staphylococcus aureus (methicillin sensitive and quinolone sensitive), Staphylococcus aureus (methicillin resistant and quinolone resistant), Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis with MIC values of 0.125 µg/mL, 0.25 µg/mL, 0.125 µg/mL, 0.125 µg/mL, 2 µg/mL, and 0.5 µg/mL, resp.

IT 519003-00-0P, (5R)-3-[3-Fluoro-4-(5-cyano-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-02-2P, (5R)-3-[3-Fluoro-4-(5-ethoxycarbonyl-1,3,4-

thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-03-3P, (5R)-3-[4-{5-(Aminomethyl)-1,3-thiazol-2-yl}-3-fluorophenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-05-5P, (5R)-3-[3-Fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-11-3P, (5R)-3-[3-Fluoro-4-(4-methyl-1,3-thiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-14-6P, (5R)-3-[3-Fluoro-4-[4-(trifluoromethyl)-1,3-thiazol-2-yl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-16-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

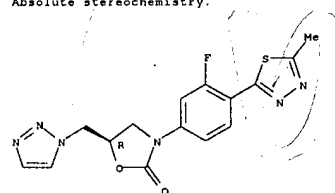
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 519003-05-5 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(5-methyl-1,3,4-thiadiazol-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

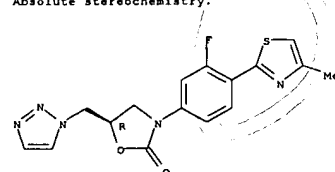
Absolute stereochemistry.



RN 519003-11-3 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-2-thiazolyl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

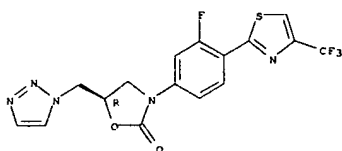


RN 519003-14-6 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(trifluoromethyl)-2-thiazolyl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

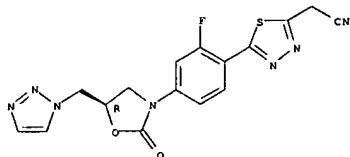
Absolute stereochemistry.





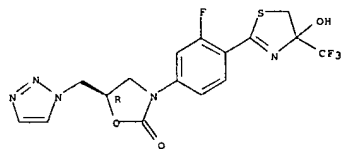
RN 519003-16-8 CAPLUS
 CN 1,3,4-Thiadiazole-2-acetonitrile, 5-[2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 519003-15-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of (aryl)oxazolidinones as antibacterial agents)
 RN 519003-15-7 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[4,5-dihydro-4-hydroxy-4-(trifluoromethyl)-2-thiazolyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

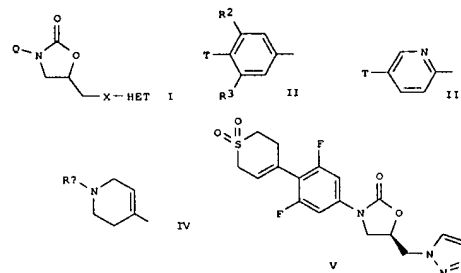
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L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:798227 CAPLUS
 DOCUMENT NUMBER: 135:344473
 TITLE: Oxazolidinone derivatives with antibacterial activity
 INVENTOR(S): Graveslock, Michael Barry; Betts, Michael John; Griffin, David Alan; Matthews, Ian Richard
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 143 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081350	A1	20011101	WO 2001-GB1815	20010423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, ME, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405349	AA	20011101	CA 2001-2405349	20010423
BR 2001010240	A	20030107	BR 2001-10240	20010423
EP 1286998	A1	20030305	EP 2001-921669	20010423
EP 1286998	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531211	T2	20031021	JP 2001-578439	20010423
EE 20020059R	A	20040415	EE 2002-598	20010423
NZ 521765	A	20040528	NZ 2001-521765	20010423
AT 268778	E	20040615	AT 2001-921669	20010423
PT 1286998	T	20040930	PT 2001-921669	20010423
ES 2220759	T3	20041216	ES 2001-1921669	20010423
AU 781784	B2	20050616	AU 2001-48636	20010423
ZA 2002008187	A	20040211	ZA 2002-8187	20021010
NO 2002005091	A	20021209	NO 2002-5091	20021023
US 2003216373	A1	20031120	US 2003-258355	20030506
HK 1053114	A1	20050219	HK 2003-105394	20030725
			GB 2000-9803	A 20000425
PRIORITY APPLN. INFO.:			WO 2001-GB1815	W 20010423
OTHER SOURCE(S):		MAKPAT 135:344473		
GI				

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

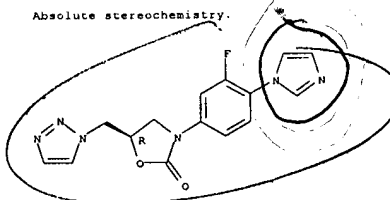


AB The title compds. [I; X = O, NH, S, etc.; HET = (un)substituted C-linked 5-membered heteroaryl ring containing 2-4 heteroatoms selected from N, O and S, etc.; Q = II, III, etc. (wherein R2, R3 = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; R4 = R13CO, R13SO2, R13CS, etc.; R13 = alkyl, etc.)], useful as antibacterial agents, were prepared and formulated. E.g., a multi-step synthesis of the oxazolidinone (R)-V which showed MIC of 0.125 µg/mL against Staphylococcus aureus (Oxford), was given.

IT 371194-46-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (oxazolidinone derivs. with antibacterial activity)

RN 371194-46-6 CAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

=>

---Logging off of STN---

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	46.45	213.60
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

STN INTERNATIONAL LOGOFF AT 07:32:46 ON 18 MAY 2006